

(19) 世界知的所有権機関
国際事務局(43) 国際公開日
2003 年 7 月 24 日 (24.07.2003)

PCT

(10) 国際公開番号
WO 03/059900 A1(51) 国際特許分類⁷: C07D 311/18, 405/10, 405/12, 413/10,
417/10, A61K 31/352, 31/41, 31/4245, 31/433, 31/4709,
31/4725, 31/496, A61P 3/06, 9/00, 9/10, 25/28, 43/00

(21) 国際出願番号: PCT/JP03/00112

(22) 国際出願日: 2003 年 1 月 9 日 (09.01.2003)

(25) 国際出願の言語: 日本語

(26) 国際公開の言語: 日本語

(30) 優先権データ:
特願2002-4359 2002 年 1 月 11 日 (11.01.2002) JP(71) 出願人 (米国を除く全ての指定国について): 武田薬品
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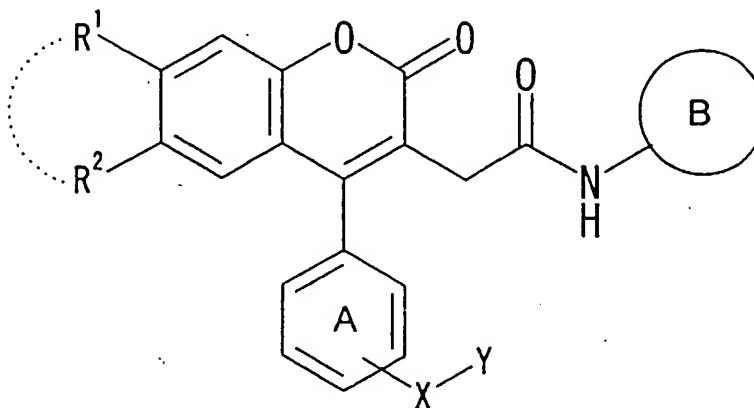
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aka (JP).(81) 指定国 (国内): AE, AG, AL, AM, AT, AU, AZ, BA, BB,
BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK,
DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ,
OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
ZM, ZW.(84) 指定国 (広域): ARIPO 特許 (GH, GM, KE, LS, MW,
MZ, SD, SL, SZ, TZ, UG, ZM, ZW), ユーラシア特許

[続葉有]

(54) Title: COUMARIN DERIVATIVES, PROCESS FOR THEIR PRODUCTION AND USE THEREOF

(54) 発明の名称: クマリン誘導体、その製法および用途



[I]

(57) Abstract: Compounds represented by the general formula [I] or salts thereof, which are useful as lipid-rich plaque inhibitors and/or ACAT inhibitors: [I] wherein R¹ and R² are each hydrogen, halogeno, an optionally substituted linear hydrocarbon group, or hydroxyl which may be substituted with an optionally substituted linear hydrocarbon group, or R¹ and R² together with the carbon atoms adjacent thereto may form an optionally substituted carbocycle or a dihydrofuran ring which may have an oxo group; A is a benzene ring which may be further substituted; B is an aromatic ring which may be substituted; X is a free valency or a spacer whose main chain has 1 to 6 atoms; Y is carboxyl which may be esterified, carbamoyl which may be substituted, cyano, or an optionally substituted heterocyclic group bearing a hydrogen atom capable of causing deprotonation.

[続葉有]



(AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), ヨーロッパ
特許 (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR,
GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR), OAPI 特
許 (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG).

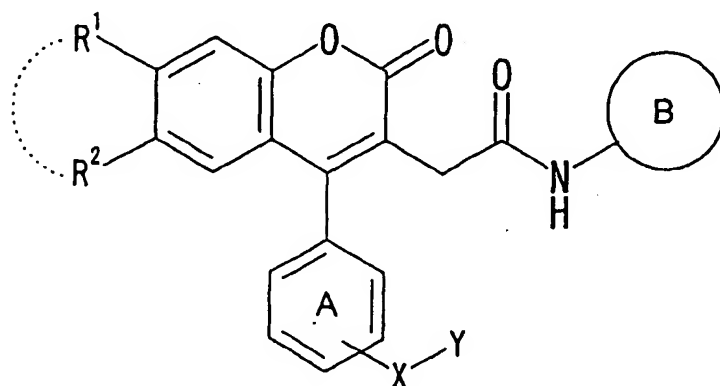
2文字コード及び他の略語については、定期発行される
各PCTガゼットの巻頭に掲載されている「コードと略語
のガイダンスノート」を参照。

添付公開書類:
— 国際調査報告書

(57) 要約:

リピド・リッチ・ブランク退縮剤または／およびACAT阻害剤として有用な、

式 [I]



[I]

〔式中、R¹およびR²はそれぞれ水素原子、ハロゲン原子、置換基を有していてもよい鎖状炭化水素基または置換基を有していてもよい鎖状炭化水素基で置換されていてもよいヒドロキシ基を、またはR¹およびR²は一緒になって隣接する炭素原子とともに置換基を有していてもよい環状炭化水素またはオキシ化されていてもよいジヒドロフラン環を形成していてもよく、A環はさらに置換基を有していてもよいベンゼン環を、B環は置換基を有していてもよい芳香環を、Xは結合手または主鎖の原子数1ないし6のスペーサーを、Yはエステル化されていてもよいカルボキシル基、置換基を有していてもよいカルバモイル基、シアノ基、または置換基を有していてもよい脱プロトン化しうる水素原子を有する複素環基を示す。〕で表される化合物またはその塩を提供する。

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP03/00112

<Concerning the subject of search>

It is unclear what structures the wording "prodrugs" set forth in claim 13 includes, though the description has been examined. Thus, the scope of compounds of the invention is unclear.

In this international search report, prior art search has been made on the basis of compounds concretely disclosed in the description.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP03/00112

Box I Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 18-20

because they relate to subject matter not required to be searched by this Authority, namely:

Claims 18-20 pertain to methods for treatment of the human body by therapy and thus relate to a subject matter which this International Searching Authority is not required to search.

2. ☐ Claims Nos.:

because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. ☐ Claims Nos.:

because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest ☐ The additional search fees were accompanied by the applicant's protest.

☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP03/00112

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P, X	JP 2002-241267 A (TAKEDA CHEMICAL INDUSTRIES, LTD.), 28 August, 2002 (28.08.02), Full text & WO 01/47723 A1 & AU 2002021116 A	1-17, 21-23

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP03/00112

A. CLASSIFICATION OF SUBJECT MATTER

Int.Cl⁷ C07D311/18, 405/10, 405/12, 413/10, 417/10, A61K31/352,
31/41, 31/4245, 31/433, 31/4709, 31/4725, 31/496,
A61P3/06, 9/00, 9/10, 25/28, 43/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Int.Cl⁷ C07D311/18, 405/10, 405/12, 413/10, 417/10, A61K31/352,
31/41, 31/4245, 31/433, 31/4709, 31/4725, 31/496,
A61P3/06, 9/00, 9/10, 25/28, 43/00

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
CAPLUS (STN), REGISTRY (STN), MEDLINE (STN), BIOSIS (STN), EMBASE (STN)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 585913 A2 (TAKEDA CHEMICAL INDUSTRIES, LTD.), 09 March, 1994 (09.03.94), Particularly, Claims; page 55 & JP 7-10844 A Particularly, Claims; Par. No. [0123] & NO 9303133 A & US 5482967 A & CA 2105518 A & AU 9346132 A & FI 9303857 A & HU 67284 A & CN 1090274 A & US 5700810 A	1-17, 21-23
P, X	JP 2002-255808 A (TAKEDA CHEMICAL INDUSTRIES, LTD.), 11 September, 2002 (11.09.02), Full text & WO 02/06264 A1	1-17, 21-23

☒ Further documents are listed in the continuation of Box C.

☐ See patent family annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search
17 March, 2003 (17.03.03)

Date of mailing of the international search report
01 April, 2003 (01.04.03)

Name and mailing address of the ISA/
Japanese Patent Office

Authorized officer

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